L1 ANSWER 9 OF 10 WPIX COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 1998-299133 [27] WPIX
DOC. NO. CPI: C1998-093344 [27]
TITLE: New heterocyclyl-fused N-(heterocyclyl-methyl)pyrazole
derivatives - inhibit thrombocyte aggregation, useful
for treating heart and circulatory disease
DERWENT CLASS: B02
INVENTOR: DEMBOWSKY K; FEURER A; FUERSTNER C; FURSTNER C; HUETTER
J; HUTTER J; JAETSCH T; KAST R; PERZBORN E; ROBYR C;
STASCH J; STRAUB A
PATENT ASSIGNEE: (FARB-C) BAYER AG; (FARB-C) BAYER HEALTHCARE AG
COUNTRY COUNT: 79

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 19649460	A1	19980528	(199827)*	DE	14[0]	
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WO 9823619	A1	19980604	(199828)	DE		
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ZA 9710573	A	19980826	(199840)	EN	91	
AU 9854823	A	19980622	(199844)	EN		
NO 9902400	A	19990519	(199934)	NO		
CZ 9901850	A3	19990811	(199937)	CS		
EP 944631	A1	19990929	(199945)	DE		
CN 1238773	A	19991215	(200017)	ZH		
SK 9900676	A3	20000214	(200020)	SK		
BR 9714363	A	20000321	(200028)	PT		
HU 2000000562	A2	20001030	(200064)	HU		
TW 403746	A	20000901	(200112)	ZH		
AU 729642	B	20010208	(200113)	EN		
NZ 335890	A	20010223	(200115)	EN		
JP 2001505567	W	20010424	(200130)	JA	83	
US 6451805	B1	20020917	(200269)#	EN		
EP 944631	B1	20040218	(200413)	DE		
DE 59711321	G	20040325	(200423)	DE		
ES 2214646	T3	20040916	(200462)	ES		
CN 1122032	C	20030924	(200554)	ZH		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
-			
DE 19649460	A1	DE 1996-19649460	19961126
BR 9714363	A	BR 1997-14363	19971114
CN 1238773	A	CN 1997-180065	19971114
CN 1122032	C	CN 1997-180065	19971114
DE 59711321	G	DE 1997-59711321	19971114
EP 944631	A1	EP 1997-951204	19971114

EP 944631 B1	EP 1997-951204 19971114
DE 59711321 G	EP 1997-951204 19971114
ES 2214646 T3	EP 1997-951204 19971114
NZ 335890 A	NZ 1997-335890 19971114
WO 9823619 A1 19971114***	***WO 1997-EP6366
NO 9902400 A	WO 1997-EP6366 19971114
CZ 9901850 A3	WO 1997-EP6366 19971114
EP 944631 A1	WO 1997-EP6366 19971114
SK 9900676 A3	WO 1997-EP6366 19971114
BR 9714363 A	WO 1997-EP6366 19971114
HU 2000000562 A2	WO 1997-EP6366 19971114
NZ 335890 A	WO 1997-EP6366 19971114
JP 2001505567 W	WO 1997-EP6366 19971114
EP 944631 B1	WO 1997-EP6366 19971114
DE 59711321 G	WO 1997-EP6366 19971114
US 6451805 B1	WO 1997-EP6366 19971114
TW 403746 A	TW 1997-117406 19971121
ZA 9710573 A	ZA 1997-10573 19971125
AU 9854823 A	AU 1998-54823 19971114
AU 729642 B	AU 1998-54823 19971114
JP 2001505567 W	JP 1998-524218 19971114
CZ 9901850 A3	CZ 1999-1850 19971114
SK 9900676 A3	SK 1999-676 19971114
US 6451805 B1	US 1999-297121 19990423
NO 9902400 A	NO 1999-2400 19990519
HU 2000000562 A2	HU 2000-562 19971114

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 729642 B	Previous Publ	AU 9854823 A
DE 59711321 G	Based on	EP 944631 A
ES 2214646 T3	Based on	EP 944631 A
AU 9854823 A	Based on	WO 9823619 A
CZ 9901850 A3	Based on	WO 9823619 A
EP 944631 A1	Based on	WO 9823619 A
BR 9714363 A	Based on	WO 9823619 A
HU 2000000562 A2	Based on	WO 9823619 A
AU 729642 B	Based on	WO 9823619 A
NZ 335890 A	Based on	WO 9823619 A
JP 2001505567 W	Based on	WO 9823619 A
EP 944631 B1	Based on	WO 9823619 A
DE 59711321 G	Based on	WO 9823619 A
US 6451805 B1	Based on	WO 9823619 A

PRIORITY APPLN. INFO: DE 1996-19649460 19961126
US 1999-297121 19990423

AN 1998-299133 [27] WPIX

AB DE 19649460 A1 UPAB: 20060114

Substituted fused pyrazole derivatives of formula (I), their isomers and salts, are new. R1 = 5-6 membered Het (optionally bound via a N atom), (optionally mono- to tri- substituted by CHO, COOH, OH, 1-6C acyl, 1-6C

alkoxy, 1-6C alkoxycarbonyl, NO2, CN, halo, Ph or 1-6C alkyl (optionally substituted by OH, NH2, N3, COOH, 1-5C acyl, 1-5C alkoxy, 1-5C alkoxycarbonyl, 1-5C acylamino or OR4) and/or a group of formula (a) or (b)); Het = saturated or aromatic heterocycle containing 1-3 heteroatoms selected from S, N and/or O; R4 = 1-5C acyl or SiR5R6R7; R5-R7 = 6-10C aryl or 1-6C alkyl; a = 1-3; R8 = H or 1-4C alkyl; R2+R3 complete a 6-membered Het (optionally mono- to tri- substituted by CHO, COOH, OH, SH, NH2, 1-6C acyl, 1-6C alkylamino, 1-6C alkylthio, 1-6C alkoxy, 1-6C alkoxycarbonyl, NO2, CN, halo, phenyl or 1-6C alkyl (optionally substituted by OH, NH2, COOH, 1-5C acyl, 1-5C alkoxy or 1-5C alkoxycarbonyl; A = 5-6 membered Het or phenyl, (both optionally mono- to tri- substituted by NH2, SH, OH, CHO, COOH, 1-6C acyl, 1-6C alkylthio, 1-6C alkoxyacyl, 1-6C alkoxy, 1-6C alkoxycarbonyl, NO2, CN, CF3, N3, halo, phenyl or 1-6C alkyl (optionally substituted by OH, COOH, 1-5C acyl, 1-5C alkoxy, 1-5C alkoxycarbonyl, NR9R10 or CONR9R10; R9, R10 = H, phenyl, benzyl, 1-5C alkyl or 1-5C acyl.

USE - (I) are used to treat heart and circulatory disease (claimed).

(I) are thrombocyte aggregation inhibitors and vasodilators, leading to reduction in blood pressure. (I) act by direct stimulation of soluble guanylate cyclase, and indirectly, by increasing the effects of substances, such as endothelium-derived relaxing factor, NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine derivatives. (I) are useful for treating hypertension, cardiac insufficiency, angina pectoris, cardiac and peripheral circulation disorders, arrhythmia, thromboembolic and ischaemic diseases such as myocardial infarct, stroke, prevention of restenosis following percutaneous transluminal angioplasty, bypass, arteriosclerosis, urogenital disorders such as prostate hypertrophy, erectile dysfunction and incontinence. - Dosage is 0.5-500 (preferably 5-100) mg/kg/day.

Member(0002)
ABEQ WO 1998023619 A1 UPAB 20060114

Substituted fused pyrazole derivatives of formula (I), their isomers and salts, are new. R1 = 5-6 membered Het (optionally bound via a N atom),

(optionally mono- to tri- substituted by CHO, COOH, OH, 1-6C acyl, 1-6C alkoxy, 1-6C alkoxycarbonyl, NO2, CN, halo, Ph or 1-6C alkyl (optionally substituted by OH, NH2, N3, COOH, 1-5C acyl, 1-5C alkoxy, 1-5C alkoxycarbonyl, 1-5C acylamino or OR4) and/or a group of formula (a) or (b)); Het = saturated or aromatic heterocycle containing 1-3 heteroatoms selected from S, N and/or O; R4 = 1-5C acyl or SiR5R6R7; R5-R7 = 6-10C aryl or 1-6C alkyl; a = 1-3; R8 = H or 1-4C alkyl; R2+R3 complete a 6-membered Het (optionally mono- to tri- substituted by CHO, COOH, OH, SH, NH2, 1-6C acyl, 1-6C alkylamino, 1-6C alkylthio, 1-6C alkoxy, 1-6C alkoxycarbonyl, NO2, CN, halo, phenyl or 1-6C alkyl (optionally substituted by OH, NH2, COOH, 1-5C acyl, 1-5C alkoxy or 1-5C alkoxycarbonyl; A = 5-6 membered Het or phenyl, (both optionally mono- to tri- substituted by NH2, SH, OH, CHO, COOH, 1-6C acyl, 1-6C alkylthio, 1-6C alkoxyacyl, 1-6C alkoxy, 1-6C alkoxycarbonyl, NO2, CN, CF3, N3, halo, phenyl or 1-6C alkyl (optionally substituted by OH, COOH, 1-5C acyl, 1-5C alkoxy, 1-5C alkoxycarbonyl, NR9R10 or CONR9R10; R9, R10 = H, phenyl, benzyl, 1-5C alkyl or 1-5C acyl.

USE - (I) are used to treat heart and circulatory disease (claimed).

(I) are thrombocyte aggregation inhibitors and vasodilators, leading to reduction in blood pressure. (I) act by direct stimulation of soluble guanylate cyclase, and indirectly, by increasing the effects of substances, such as endothelium-derived relaxing factor, NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine derivatives. (I) are useful for treating hypertension, cardiac insufficiency, angina pectoris, cardiac and peripheral circulation disorders, arrhythmia, thromboembolic and ischaemic diseases such as myocardial infarct, stroke, prevention of restenosis following percutaneous transluminal angioplasty, bypass, arteriosclerosis, urogenital disorders such as prostate hypertrophy, erectile dysfunction and incontinence. - Dosage is 0.5-500 (preferably 5-100) mg/kg/day.

Member(0007)

ABEQ EP 944631 A1 UPAB 20060114

Substituted fused pyrazole derivatives of formula (I), their isomers and

salts, are new. R1 = 5-6 membered Het (optionally bound via a N atom), (optionally mono- to tri- substituted by CHO, COOH, OH, 1-6C acyl, 1-6C alkoxy, 1-6C alkoxycarbonyl, NO2, CN, halo, Ph or 1-6C alkyl (optionally substituted by OH, NH2, N3, COOH, 1-5C acyl, 1-5C alkoxy, 1-5C alkoxycarbonyl, 1-5C acylamino or OR4) and/or a group of formula (a) or (b)); Het = saturated or aromatic heterocycle containing 1-3 heteroatoms selected from S, N and/or O; R4 = 1-5C acyl or SiR5R6R7; R5-R7 = 6-10C aryl or 1-6C alkyl; a = 1-3; R8 = H or 1-4C alkyl; R2+R3 complete a 6-membered Het (optionally mono- to tri- substituted by CHO, COOH, OH, SH, NH2, 1-6C acyl, 1-6C alkylamino, 1-6C alkylthio, 1-6C alkoxy, 1-6C alkoxycarbonyl, NO2, CN, halo, phenyl or 1-6C alkyl (optionally substituted by OH, NH2, COOH, 1-5C acyl, 1-5C alkoxy or 1-5C alkoxycarbonyl; A = 5-6 membered Het or phenyl, (both optionally mono- to tri- substituted by NH2, SH, OH, CHO, COOH, 1-6C acyl, 1-6C alkylthio, 1-6C alkoxyacyl, 1-6C alkoxy, 1-6C alkoxycarbonyl, NO2, CN, CF3, N3, halo, phenyl or 1-6C alkyl (optionally substituted by OH, COOH, 1-5C acyl, 1-5C alkoxy, 1-5C alkoxycarbonyl, NR9R10 or CONR9R10; R9, R10 = H, phenyl, benzyl, 1-5C alkyl or 1-5C acyl.

USE - (I) are used to treat heart and circulatory disease (claimed).

(I) are thrombocyte aggregation inhibitors and vasodilators, leading to reduction in blood pressure. (I) act by direct stimulation of soluble guanylate cyclase, and indirectly, by increasing the effects of substances, such as endothelium-derived relaxing factor, NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine derivatives. (I) are useful for treating hypertension, cardiac insufficiency, angina pectoris, cardiac and peripheral circulation disorders, arrhythmia, thromboembolic and ischaemic diseases such as myocardial infarct, stroke, prevention of restenosis following percutaneous transluminal angioplasty, bypass, arteriosclerosis, urogenital disorders such as prostate hypertrophy, erectile dysfunction and incontinence. - Dosage is 0.5-500 (preferably 5-100) mg/kg/day.

Member(0008)

ABEQ CN 1238773 A UPAB 20060114

Substituted fused pyrazole derivatives of formula (I), their isomers and salts, are new. R1 = 5-6 membered Het (optionally bound via a N atom), (optionally mono- to tri- substituted by CHO, COOH, OH, 1-6C acyl, 1-6C alkoxy, 1-6C alkoxycarbonyl, NO2, CN, halo, Ph or 1-6C alkyl (optionally substituted by OH, NH2, N3, COOH, 1-5C acyl, 1-5C alkoxy, 1-5C alkoxycarbonyl, 1-5C acylamino or OR4) and/or a group of formula (a) or (b)); Het = saturated or aromatic heterocycle containing 1-3 heteroatoms selected from S, N and/or O; R4 = 1-5C acyl or SiR5R6R7; R5-R7 = 6-10C aryl or 1-6C alkyl; a = 1-3; R8 = H or 1-4C alkyl; R2+R3 complete a 6-membered Het (optionally mono- to tri- substituted by CHO, COOH, OH, SH, NH2, 1-6C acyl, 1-6C alkylamino, 1-6C alkylthio, 1-6C alkoxy, 1-6C alkoxycarbonyl, NO2, CN, halo, phenyl or 1-6C alkyl (optionally substituted by OH, NH2, COOH, 1-5C acyl, 1-5C alkoxy or 1-5C alkoxycarbonyl; A = 5-6 membered Het or phenyl, (both optionally mono- to tri- substituted by NH2, SH, OH, CHO, COOH, 1-6C acyl, 1-6C alkylthio, 1-6C alkoxyacyl, 1-6C alkoxy, 1-6C alkoxycarbonyl, NO2, CN, CF3, N3, halo, phenyl or 1-6C alkyl (optionally substituted by OH, COOH, 1-5C acyl, 1-5C alkoxy, 1-5C alkoxycarbonyl, NR9R10 or CONR9R10; R9, R10 = H, phenyl, benzyl, 1-5C alkyl or 1-5C acyl.

USE - (I) are used to treat heart and circulatory disease (claimed).

(I) are thrombocyte aggregation inhibitors and vasodilators, leading to reduction in blood pressure. (I) act by direct stimulation of soluble guanylate cyclase, and indirectly, by increasing the effects of substances, such as endothelium-derived relaxing factor, NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine derivatives. (I) are useful for treating hypertension, cardiac insufficiency, angina pectoris, cardiac and peripheral circulation disorders, arrhythmia, thromboembolic and ischaemic diseases such as myocardial infarct, stroke, prevention of restenosis following percutaneous transluminal angioplasty, bypass, arteriosclerosis, urogenital disorders such as prostate hypertrophy, erectile dysfunction and incontinence. - Dosage is 0.5-500 (preferably 5-100) mg/kg/day.

Member(0012)
ABEQ TW 403746 A UPAB 20060114
Substituted fused pyrazole derivatives of formula (I), their isomers and
salts, are new. R1 = 5-6 membered Het (optionally bound via a N atom),
(optionally mono- to tri- substituted by CHO, COOH, OH, 1-6C acyl, 1-6C
alkoxy, 1-6C alkoxycarbonyl, NO2, CN, halo, Ph or 1-6C alkyl (optionally
substituted by OH, NH2, N3, COOH, 1-5C acyl, 1-5C alkoxy, 1-5C
alkoxycarbonyl, 1-5C acylamino or OR4) and/or a group of formula (a) or
(b)); Het = saturated or aromatic heterocycle containing 1-3 heteroatoms
selected from S, N and/or O; R4 = 1-5C acyl or SiR5R6R7; R5-R7 = 6-10C
aryl or 1-6C alkyl; a = 1-3; R8 = H or 1-4C alkyl; R2+R3 complete a
6-membered Het (optionally mono- to tri- substituted by CHO, COOH, OH, SH,
NH2, 1-6C acyl, 1-6C alkylamino, 1-6C alkylthio, 1-6C alkoxy, 1-6C
alkoxycarbonyl, NO2, CN, halo, phenyl or 1-6C alkyl (optionally
substituted by OH, NH2, COOH, 1-5C acyl, 1-5C alkoxy or 1-5C
alkoxycarbonyl; A = 5-6 membered Het or phenyl, (both optionally mono- to
tri- substituted by NH2, SH, OH, CHO, COOH, 1-6C acyl, 1-6C alkylthio,
1-6C alkoxyacyl, 1-6C alkoxy, 1-6C alkoxycarbonyl, NO2, CN, CF3, N3, halo,
phenyl or 1-6C alkyl (optionally substituted by OH, COOH, 1-5C acyl, 1-5C
alkoxy, 1-5C alkoxycarbonyl, NR9R10 or CONR9R10; R9, R10 = H, phenyl,
benzyl, 1-5C alkyl or 1-5C acyl.
USE - (I) are used to treat heart and circulatory disease (claimed).
(I) are thrombocyte aggregation inhibitors and vasodilators, leading to
reduction in blood pressure. (I) act by direct stimulation of soluble
guanylate cyclase, and indirectly, by increasing the effects of
substances, such as endothelium-derived relaxing factor, NO-donors,
protoporphyrin IX, arachidonic acid and phenylhydrazine derivatives. (I)
are useful for treating hypertension, cardiac insufficiency, angina
pectoris, cardiac and peripheral circulation disorders, arrhythmia,
thromboembolic and ischaemic diseases such as myocardial infarct, stroke,
prevention of restenosis following percutaneous transluminal angioplasty,
bypass, arteriosclerosis, urogenital disorders such as prostate
hypertrophy, erectile dysfunction and incontinence. - Dosage is 0.5-500

(preferably 5-100) mg/kg/day.

Member(0015)
ABEQ JP 2001505567 W UPAB 20060114
Substituted fused pyrazole derivatives of formula (I), their isomers and
salts, are new. R1 = 5-6 membered Het (optionally bound via a N atom),
(optionally mono- to tri- substituted by CHO, COOH, OH, 1-6C acyl, 1-6C
alkoxy, 1-6C alkoxycarbonyl, NO2, CN, halo, Ph or 1-6C alkyl (optionally
substituted by OH, NH2, N3, COOH, 1-5C acyl, 1-5C alkoxy, 1-5C
alkoxycarbonyl, 1-5C acylamino or OR4) and/or a group of formula (a) or
(b)); Het = saturated or aromatic heterocycle containing 1-3 heteroatoms
selected from S, N and/or O; R4 = 1-5C acyl or SiR5R6R7; R5-R7 = 6-10C
aryl or 1-6C alkyl; a = 1-3; R8 = H or 1-4C alkyl; R2+R3 complete a
6-membered Het (optionally mono- to tri- substituted by CHO, COOH, OH, SH,
NH2, 1-6C acyl, 1-6C alkylamino, 1-6C alkylthio, 1-6C alkoxy, 1-6C
alkoxycarbonyl, NO2, CN, halo, phenyl or 1-6C alkyl (optionally
substituted by OH, NH2, COOH, 1-5C acyl, 1-5C alkoxy or 1-5C
alkoxycarbonyl; A = 5-6 membered Het or phenyl, (both optionally mono- to
tri- substituted by NH2, SH, OH, CHO, COOH, 1-6C acyl, 1-6C alkylthio,
1-6C alkoxyacyl, 1-6C alkoxy, 1-6C alkoxycarbonyl, NO2, CN, CF3, N3, halo,
phenyl or 1-6C alkyl (optionally substituted by OH, COOH, 1-5C acyl, 1-5C
alkoxy, 1-5C alkoxycarbonyl, NR9R10 or CONR9R10; R9, R10 = H, phenyl,
benzyl, 1-5C alkyl or 1-5C acyl.
USE - (I) are used to treat heart and circulatory disease (claimed).
(I) are thrombocyte aggregation inhibitors and vasodilators, leading to
reduction in blood pressure. (I) act by direct stimulation of soluble
guanylate cyclase, and indirectly, by increasing the effects of
substances, such as endothelium-derived relaxing factor, NO-donors,
protoporphyrin IX, arachidonic acid and phenylhydrazine derivatives. (I)
are useful for treating hypertension, cardiac insufficiency, angina
pectoris, cardiac and peripheral circulation disorders, arrhythmia,
thromboembolic and ischaemic diseases such as myocardial infarct, stroke,
prevention of restenosis following percutaneous transluminal angioplasty,
bypass, arteriosclerosis, urogenital disorders such as prostate

hypertrophy, erectile dysfunction and incontinence. - Dosage is 0.5-500
(preferably 5-100) mg/kg/day.